## (19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 22 April 2004 (22.04.2004)

**PCT** 

(10) International Publication Number WO 2004/033415 A1

(51) International Patent Classification<sup>7</sup>: C07C 237/30, 309/66, 311/39, C07D 263/04, 295/13, A61K 31/18, 31/166, 31/357, 31/5375, A61P 35/00

(21) International Application Number:

PCT/NZ2003/000225

(22) International Filing Date: 8 October 2003 (08.10.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: NZ 521851

8 October 2002 (08.10.2002) NZ

(71) Applicant (for all designated States except US): AUCK-LAND UNISERVICES LIMITED [NZ/NZ]; Level 10, 70 Symonds St, 1001 Auckland (NZ).

(72) Inventors; and

(75) Inventors/Applicants (for US only): DENNY, William, Alexander [NZ/NZ]; 165 Gossamer Drive, Pakuranga, Auckland, 1706 (NZ). ATWELL, Graham, J. [NZ/NZ]; 192 Gowing Drive, Measowbank, Auckland (NZ). YANG, Shangjin [NZ/NZ]; 3/78 Aroha Avenue, Mt. Albert, Auckland (NZ). WILSON, William, Robert [NZ/NZ]; 199 Smith Road, RD2, Waiuku (NZ).

(74) Agent: BALDWIN SHELSTON WATERS; P.O. Box 852, Wellington (NZ).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

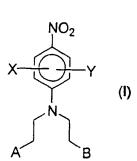
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Published:

- with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NITROANILINE-BASED ALKYLATING AGENTS AND THEIR USE AS PRODRUGS



(57) Abstract: Nitroaniline-based unsymmetrical mustards of the general formula (I) are provided, together with methods of preparation and methods for their use as produgs for gene-dependent enzyme prodrug therapy (GDEPT) and cell ablation therapy in conjuction with nitroreductase enzymes as hypoxia selective cytotoxins and as anticancer agents.

WO 2004/033415 A1